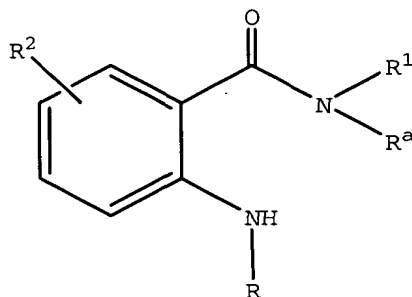


WHAT IS CLAIMED IS:

1. A compound of Formula I



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**I**

wherein R is selected from

a) unsubstituted or substituted 9- or 10-membered fused heterocyclyl,

10

wherein R is substituted with one or more

substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl,  $C_{1-6}$ -alkoxy, optionally substituted heterocyclylalkoxy,  $C_{1-6}$ -alkylamino- $C_{2-4}$ -alkynyl,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkoxy, and optionally substituted heterocyclyl- $C_{2-4}$ -alkynyl, and

15

b)  $-(CH_2)_{1-2}-R^3$ ;

wherein  $R^1$  is selected from unsubstituted or substituted

20

a) 5-6 membered saturated or partially saturated heterocyclyl,

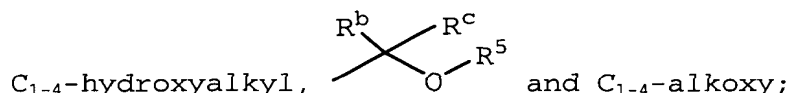
b) 9-10 membered bicyclic and 13-14 membered tricyclic saturated or partially saturated heterocyclyl, and

c) phenyl;

25

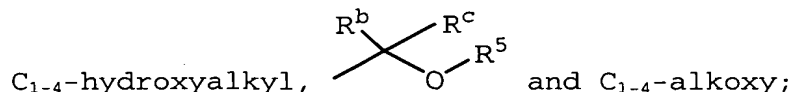
wherein substituted  $R^1$  is heterocyclyl substituted with one or more substituents selected from halo,  $C_{1-6}$ -alkyl, optionally substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-4}$ -alkylenyl,  $C_{1-2}$ -haloalkoxy,

optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl,



wherein substituted R<sup>1</sup> is phenyl substituted with a substituent selected from optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, and optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl,

and optionally substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2-4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl,



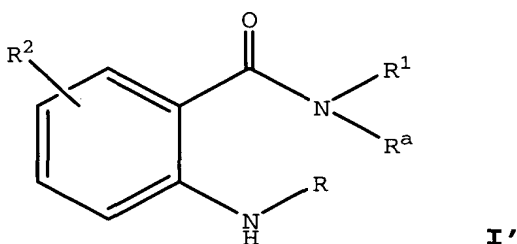
wherein R<sup>2</sup> is one or more substituents independently selected from

H,  
halo,  
hydroxy,  
amino,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,

- C<sub>1-2</sub>-alkylamino,  
aminosulfonyl,  
C<sub>3-6</sub>-cycloalkyl,  
cyano,  
5 C<sub>1-2</sub>-hydroxyalkyl,  
nitro,  
C<sub>2-3</sub>-alkenyl,  
C<sub>2-3</sub>-alkynyl,  
C<sub>1-6</sub>-haloalkoxy,  
10 C<sub>1-6</sub>-carboxyalkyl,  
4-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,  
unsubstituted or substituted phenyl and  
unsubstituted or substituted 4-6 membered  
heterocyclyl;  
15 wherein R<sup>3</sup> is independently selected from substituted or  
unsubstituted aryl, substituted or unsubstituted 5-6  
membered heterocyclyl, and substituted or  
unsubstituted fused 9-, 10- or 11-membered  
heterocyclyl; wherein substituted R<sup>3</sup> is substituted  
20 with one or more substituents independently selected  
from halo, -OR<sup>4</sup>, -SR<sup>4</sup>, -SO<sub>2</sub>R<sup>4</sup>, -CO<sub>2</sub>R<sup>4</sup>, -CONR<sup>4</sup>R<sup>4</sup>, -COR<sup>4</sup>, -  
NR<sup>4</sup>R<sup>4</sup>, -SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, -NR<sup>4</sup>C(O)OR<sup>4</sup>, -NR<sup>4</sup>C(O)R<sup>4</sup>, cycloalkyl,  
optionally substituted 5-6 membered heterocyclyl,  
optionally substituted phenyl, lower alkyl substituted  
25 with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;  
wherein R<sup>4</sup> is independently selected from H, lower alkyl,  
optionally substituted phenyl, optionally substituted  
4-6 membered heterocyclyl, optionally substituted C<sub>3-6</sub>  
cycloalkyl, phenyl-C<sub>1-6</sub>-alkyl, optionally substituted  
30 4-6 membered heterocyclyl-C<sub>1-6</sub>-alkyl, and lower  
haloalkyl;  
wherein R<sup>5</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally  
substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-  
alkyl, 4-6 membered heterocyclyl, optionally

substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl; wherein R<sup>a</sup> is selected from H and C<sub>1-2</sub>-alkyl; and wherein R<sup>b</sup> and R<sup>c</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl;  
5        haloalkyl;  
and pharmaceutically acceptable derivatives thereof.

2. A compound of Formula I'



wherein R is selected from

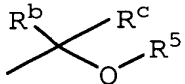
- a) unsubstituted 9- or 10-membered fused heterocyclyl and 9- or 10-membered fused heterocyclyl substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclylalkoxy, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl,  
15        b) -(CH<sub>2</sub>)<sub>1-2</sub>-R<sup>3</sup>, and  
c) -(CHCH<sub>3</sub>)-R<sup>3</sup>;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

- a) 5-6 membered saturated or partially saturated heterocyclyl,  
25        b) 9-10 membered bicyclic and 11-14 membered tricyclic saturated or partially saturated heterocyclyl, and  
c) phenyl;

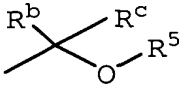
wherein substituted R<sup>1</sup> is heterocyclyl substituted with one or more substituents selected from halo, C<sub>1-6</sub>-  
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alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl,  
 optionally substituted phenyl, optionally  
 substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy,  
 optionally substituted phenyloxy, optionally  
 5 substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
 optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-  
 C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered  
 heterocyclyl, optionally substituted 4-6 membered  
 heterocyclyloxy, optionally substituted 4-6  
 10 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally  
 substituted 4-6 membered heterocyclylsulfonyl,  
 optionally substituted 4-6 membered  
 heterocyclylamino, optionally substituted 4-6  
 membered heterocyclylcarbonyl, optionally  
 15 substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-  
 alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro,  
 amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-  
 alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-  
 alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1</sub>-  
 20 3-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-  
 alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl,

C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

wherein substituted R<sup>1</sup> is phenyl substituted with a  
 substituent selected from optionally substituted 4-  
 25 6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally  
 substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl,  
 optionally substituted 4-6 membered heterocyclyl,  
 optionally substituted 4-6 membered  
 heterocyclyloxy, optionally substituted 4-6  
 30 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally  
 substituted 4-6 membered heterocyclylsulfonyl,  
 optionally substituted 4-6 membered  
 heterocyclylamino, optionally substituted 4-6

membered heterocyclylcarbonyl, halo, C<sub>3</sub>-C<sub>4</sub>-alkyl and optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-<sub>4</sub>-alkylcarbonyl,

5 and the phenyl ring is optionally further substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

30 wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, hydroxy, amino, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-2</sub>-alkylamino, aminosulfonyl, C<sub>3-6</sub>-cycloalkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro, C<sub>2-3</sub>-

alkenyl, C<sub>2-3</sub>-alkynyl, C<sub>1-6</sub>-haloalkoxy, C<sub>1-6</sub>-carboxyalkyl, 4-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl;

5 wherein R<sup>3</sup> is independently selected from substituted or unsubstituted aryl, substituted or unsubstituted 5-6 membered heterocyclyl, and substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl; wherein substituted R<sup>3</sup> is substituted with one or more  
10 substituents independently selected from halo, -OR<sup>4</sup>, -SR<sup>4</sup>, -SO<sub>2</sub>R<sup>4</sup>, -CO<sub>2</sub>R<sup>4</sup>, -CONR<sup>4</sup>R<sup>4</sup>, -COR<sup>4</sup>, -NR<sup>4</sup>R<sup>4</sup>, -SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, -NR<sup>4</sup>C(O)OR<sup>4</sup>, -NR<sup>4</sup>C(O)R<sup>4</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>6</sup>, cyano, nitro, lower  
15 alkenyl and lower alkynyl;

wherein R<sup>4</sup> is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C<sub>3</sub>-C<sub>6</sub> cycloalkyl, phenyl-C<sub>1-6</sub>-alkyl, optionally substituted 4-6  
20 membered heterocyclyl-C<sub>1-6</sub>-alkyl, and lower haloalkyl;

wherein R<sup>5</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl;  
25

wherein R<sup>6</sup> is selected from H, halo, hydroxy, amino, C<sub>1-6</sub>-alkoxy, C<sub>1-2</sub>-alkylamino, aminosulfonyl, C<sub>3-6</sub>-cycloalkyl, cyano, nitro, C<sub>1-6</sub>-haloalkoxy, carboxy, 4-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino, unsubstituted or substituted  
30 phenyl and unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R<sup>a</sup> is selected from H and C<sub>1-2</sub>-alkyl; and

wherein R<sup>b</sup> and R<sup>c</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl;

and pharmaceutically acceptable derivatives thereof; provided  $R^3$  is not aryl or heteroaryl when  $R^1$  is unsubstituted phenyl or phenyl substituted with halo, or  $C_{1-6}$ -alkyl and when  $R^2$  is H.

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3. Compound of Claim 2 wherein  $R^1$  is selected from unsubstituted or substituted 9-10 membered bicyclic saturated or partially saturated heterocyclyl; and wherein  $R^a$  is H; and pharmaceutically acceptable derivatives thereof.

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4. Compound of Claim 3 wherein  $R^1$  is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolinyl, and 1,4-benzodioxanyl; wherein  $R^1$  is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

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aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),  
5 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-  
10 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,  
15 phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and  
20 ethoxy; and pharmaceutically acceptable derivatives thereof.

5. Compound of Claim 4 wherein R<sup>1</sup> is selected from 4,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinol-7-yl, 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2-acetyl-4,4-  
25 dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2,3-dihydro-1H-indolyl, 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, 1-ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, and 1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

30

6. Compound of Claim 5 wherein R<sup>1</sup> is 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable derivatives thereof.

7. Compound of Claim 5 wherein R<sup>1</sup> is 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl; and pharmaceutically acceptable derivatives thereof.

5           8. Compound of Claim 2 wherein R<sup>1</sup> is selected from  
phenyl substituted with a substituent selected from  
optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-  
alkenyl, optionally substituted 4-6 membered heterocyclyl,  
10 optionally substituted 4-6 membered heterocyclyloxy,  
optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy,  
optionally substituted 4-6 membered heterocyclylsulfonyl,  
optionally substituted 4-6 membered heterocyclylamino,  
optionally substituted 4-6 membered heterocyclylcarbonyl,  
15 chloro, C<sub>3</sub>-C<sub>4</sub>-alkyl and optionally substituted 4-6 membered  
heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl; and wherein R<sup>a</sup> is H; and  
pharmaceutically acceptable derivatives thereof; provided R<sup>3</sup>  
is not aryl or heteroaryl when R<sup>1</sup> is phenyl substituted with  
chloro or alkyl and when R<sup>2</sup> is H.

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9. Compound of Claim 8 wherein R<sup>1</sup> is selected from 4-chlorophenyl, 4-tert-butylphenyl, and 4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]phenyl; and pharmaceutically acceptable derivatives thereof.

25

10. Compound of Claim 2 wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl,  
30 methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable derivatives thereof.

11. Compound of Claim 10 wherein  $R^2$  is H; and pharmaceutically acceptable derivatives thereof.

5

12. Compound of Claim 2 wherein R is  $-(CH_2)-R^3$ ; and wherein  $R^3$  is selected from phenyl substituted with one or more substituents independently selected from halo, amino,  $C_{1-3}$ -alkoxy, hydroxyl,  $C_{1-3}$ -alkyl and  $C_{1-2}$ -haloalkyl; and pharmaceutically acceptable derivatives thereof.

10

13. Compound of Claim 2 wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heterocyclyl; and pharmaceutically acceptable derivatives thereof.

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14. Compound of Claim 13 wherein R is selected from optionally substituted indazolyl, quinolinyl, [1,7]naphthyridinyl, quinazolinyl and isoquinolinyl; and pharmaceutically acceptable derivatives thereof.

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15. Compound of Claim 14 wherein R is selected from [1,7]naphthyridin-2-yl, quinazolin-6-yl and 7-isoquinolinyl; and pharmaceutically acceptable derivatives thereof.

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16. Compound of Claim 2 wherein R is  $-(CH_2)_{1-2}-R^3$ ; and wherein  $R^3$  is selected from substituted or unsubstituted 5-6 membered nitrogen-containing heteroaryl, and substituted or unsubstituted fused 9-, or 10-membered nitrogen-containing heteroaryl; and pharmaceutically acceptable derivatives thereof.

30

17. Compound of Claim 16 wherein R is selected from (3-pyridyl)- $(CH_2)_2-$ , (4-pyridyl)- $CH_2-$ , (4-pyrimidinyl)- $CH_2-$ ,

(5-pyrimidinyl)-CH<sub>2</sub>-, (6-pyrimidinyl)-CH<sub>2</sub>-, (4-pyridazinyl)-CH<sub>2</sub>- and (6-pyridazinyl)-CH<sub>2</sub>-; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, methylamino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

18. Compound of Claim 16 wherein R is selected from 5-indazolyl-CH<sub>2</sub>-, 4-quinolinyl-CH<sub>2</sub>-, (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH<sub>2</sub>-, 5-quinoxaliny-CH<sub>2</sub>-, 5-isoquinolinyl-CH<sub>2</sub>- and 4-quinazolinyl-CH<sub>2</sub>-; and pharmaceutically acceptable derivatives thereof.

19. Compound of Claim 2 wherein R is selected from (4-pyridyl)-CH<sub>2</sub>-, (4-fluorophenyl)-CH<sub>2</sub>-, (2-methylamino-4-pyrimidinyl)-CH<sub>2</sub>-, (4-quinolinyl)-CH<sub>2</sub>-, 5-quinoxaliny-CH<sub>2</sub>-, (4-pyridazinyl)-CH<sub>2</sub>-, (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH<sub>2</sub>-, (2-methoxy-4-pyridyl)-CH<sub>2</sub>-, (4-pyridazinyl)-CH<sub>2</sub>-, (2-amino-4-pyrimidinyl)-CH<sub>2</sub>-, quinazolin-6-yl and 7-isoquinolinyl; and pharmaceutically acceptable derivatives thereof.

20. Compound of Claim 2 wherein R is -(CHCH<sub>3</sub>)-R<sup>3</sup>; wherein R<sup>3</sup> is selected from unsubstituted or substituted 6-membered nitrogen-containing heteroaryl; and wherein substituted R<sup>3</sup> is substituted with one or more substituents independently selected from halo, amino, C<sub>1-3</sub>-alkoxy, hydroxyl, C<sub>1-3</sub>-alkyl and C<sub>1-2</sub>-haloalkyl; and pharmaceutically acceptable derivatives thereof.

21. Compound of Claim 20 wherein R is selected from (4-pyridyl)-(CHCH<sub>3</sub>)-, (4-pyrimidinyl)-(CHCH<sub>3</sub>)-, (5-pyrimidinyl)-(CHCH<sub>3</sub>)-, (6-pyrimidinyl)-(CHCH<sub>3</sub>)-, (4-pyridazinyl)-(CHCH<sub>3</sub>)- and (6-pyridazinyl)-(CHCH<sub>3</sub>)-; wherein R is unsubstituted or substituted with one or more

substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof.

- 5           22. Compound of Claim 21 wherein R is (2-methylamino-4-pyrimidinyl)-CHCH<sub>3</sub>- or (2-amino-4-pyrimidinyl)-CHCH<sub>3</sub>-; and pharmaceutically acceptable derivatives thereof.

- 10           23. Compound of Claim 2 wherein R<sup>5</sup> is selected from H, piperidinylethyl and methoxyethoxyethyl; wherein R<sup>a</sup> is H; and wherein R<sup>b</sup> and R<sup>c</sup> are independently selected from H and trifluoromethyl; and pharmaceutically acceptable derivatives thereof.

- 15           24. Compound of Claim 2 wherein R is (4-pyridyl)-CH<sub>2</sub>-; and pharmaceutically acceptable derivatives thereof.

- 20           25. Compound of Claim 2 wherein R is (4-fluorophenyl)-CH<sub>2</sub>-; and pharmaceutically acceptable derivatives thereof.

26. Compound of Claim 2 wherein R is (4-quinolyl)-CH<sub>2</sub>-; and pharmaceutically acceptable derivatives thereof.

- 25           27. Compound of Claim 2 wherein R is (1H-pyrrolo[2,3-b]pyridin-3-yl)-CH<sub>2</sub>-; and pharmaceutically acceptable derivatives thereof.

- 30           28. Compound of Claim 2 wherein R is (2-amino-pyrimidin-4-yl)-CHCH<sub>3</sub>- or (2-methylaminopyrimidin-4-yl)-CHCH<sub>3</sub>-; and pharmaceutically acceptable derivatives thereof.

29. Compound of Claim 2 wherein R<sup>2</sup> is H or fluoro; and pharmaceutically acceptable derivatives thereof.

30. Compound of Claim 2 and pharmaceutically acceptable salts thereof selected from

- 5 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;  
N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;  
N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-  
10 (quinazolin-6-ylamino)-benzamide;  
N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide;  
(R)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;  
15 N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;  
N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(quinolin-4-ylmethyl)-amino]-benzamide;  
N-(4-tert-Butyl-phenyl)-2-(isoquinolin-7-ylamino)-benzamide;  
20 N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;  
N-[4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-benzamide;  
N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-  
25 [(quinolin-4-ylmethyl)-amino]-benzamide;  
N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(1-oxy-pyridin-4-ylmethyl)-amino]-benzamide;  
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-  
30 benzamide;  
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridazin-4-ylmethyl)-amino]-benzamide;

5 2-[1-(2-Amino-pyrimidin-4-yl)-ethylamino]-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;

2-(4-Fluoro-benzylamino)-N-{4-[1-methyl-1-(1-methyl-

10 piperidin-4-yl)-ethyl]-phenyl}-benzamide;

N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(quinolin-4-ylmethyl)-amino]-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(4-fluoro-benzylamino)-benzamide;

15 N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-2-(4-fluoro-benzylamino)-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-2-(4-fluoro-benzylamino)-benzamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-4-

20 fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide; and

N-(4,4-Dimethyl-2-oxo-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide.

25 31. Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

30 32. Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

33. Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(quinazolin-6-ylamino)-benzamide.

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34. Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide.

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35. Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising (R)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide.

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36. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound of Claim 1.

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37. A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 1.

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38. The method of Claim 37 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

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39. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound of Claim 1.

40. A method of treating VEGF receptor-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

5           41. A method of treating proliferation-related disorders in a mammal, said method comprising administering an effective amount of a compound of Claim 1.

10           42. The method of Claim 41 wherein the disorder is inflammation or an inflammation-related disorder.

15           43. A method of reducing blood flow in a tumor in a subject, said method comprising administering an effective amount of a compound of Claim 1.

          44. A method of reducing tumor size in a subject, said method comprising administering an effective amount of a compound of Claim 1.

20           45. A method of treating diabetic retinopathy in a subject, said method comprising administering an effective amount of a compound of Claim 1.

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